WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 5: C07D 221/20, 491/10, 495/20, A61K 31/445 // (C07D 491/10, 311:00, 221:00) (C07D 491/10, 307:00, 221:00) (C07D 495/20, 333:00, 333:00, 221:00)

(11) International Publication Number:

WO 94/17045

(43) International Publication Date:

4 August 1994 (04.08.94)

(21) International Application Number:

PCT/US94/00819

A1

(22) International Filing Date:

25 January 1994 (25.01.94)

(30) Priority Data:

ij

010.233

28 January 1993 (28.01.93) US

(60) Parent Application or Grant

(63) Related by Continuation

US Filed on 010,233 (CIP)

28 January 1993 (28.01.93)

(71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): HALE, Jeffrey, J. [US/US]; 233 Hazel Avenue, Westfield, NJ 07090 (US). MACCOSS, Malcolm [GB/US]; 48 Rose Court, Freehold, NJ 07728 (US). MILLS, Sander, G. [US/US]; 13A Woodbridge Terrace, Woodbridge, NJ 07095 (US). QI, Hongbo [CN/US]; 3 Watson Court West, Edison, NJ 08820 (US).

SHAH, Shrenik, K. [IN/US]; 25 Denise Court, Metuchen, NJ 08840 (US).

- (74) Agent: PANZER, Curtis, C.; 126 East Lincoln Avenue, Rahway, NJ 07065 (US).
- (81) Designated States: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

With international search report.

(54) Title: SPIRO-SUBSTITUTED AZACYCLES AS TACHYKININ RECEPTOR ANTAGONISTS

(57) Abstract

Disclosed are spiro-substituted azacycles of formula (I), are tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, emesis and asthma. In particular compounds of formula (I) are shown to be neurokinin antagonists.